STN- Structure Search
10/2/07

10/567,472

=> d ibib abs hitstr 1-3

L9 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:646607 CAPLUS
DOCUMENT NUMBER: 147:53049
TITLE: Methods for preparing irinotecan
INVENTOR(S): Wissmann, Friedrich; Rauter, Holger; Werner, Silvia
PATENT ASSIGNEE(S): W. C. Heraeus GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 5pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007135471	A1	20070614	US 2006-608946	20061211
EP 1803725	A1	20070704	EP 2005-27167	20051213
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2567922	A1	20070613	CA 2006-2567922	20061114
CN 1982314	A	20070620	CN 2006-10162871	20061127
AU 2006246448	A1	20070628	AU 2006-246448	20061129
JP 2007161714	A	20070628	JP 2006-336395	~~20061213~~
PRIORITY APPLN. INFO.:			EP 2005-27167	A 20051213

OTHER SOURCE(S): CASREACT 147:53049
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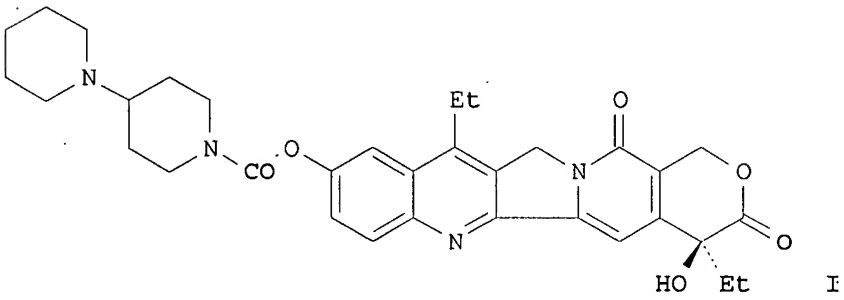


I

AB Processes were disclosed for manufacturing the title alkaloid, 7-ethyl-10-[4-(1-piperidino)-1-piperidino]-carbonyloxy-camptothecin (I). The process comprised reacting a mixture of 1-chlorocarbonyl-4-piperidinopiperidine hydrochloride and 7-ethyl-10-hydroxycamptothecin in a polar aprotic solvent with a base in the presence of catalytic amts. of a N-containing cyclic organic compound having 3 to 20 carbon atoms and optionally in the presence of a water binding agent in an amount which effectively binds any water present in the above reactants and solvents, or alternatively, reacting 7-ethyl-10-hydroxycamptothecin in a polar aprotic solvent with phosgene, trichloromethyl-chloroformate, bis(trichloromethyl)carbonate or a alternative to phosgene and a base in the presence of catalytic amts. of a N-containing cyclic organic compound having 3 to 20 carbon atoms and subsequently with piperidinopiperidine and an amine base.

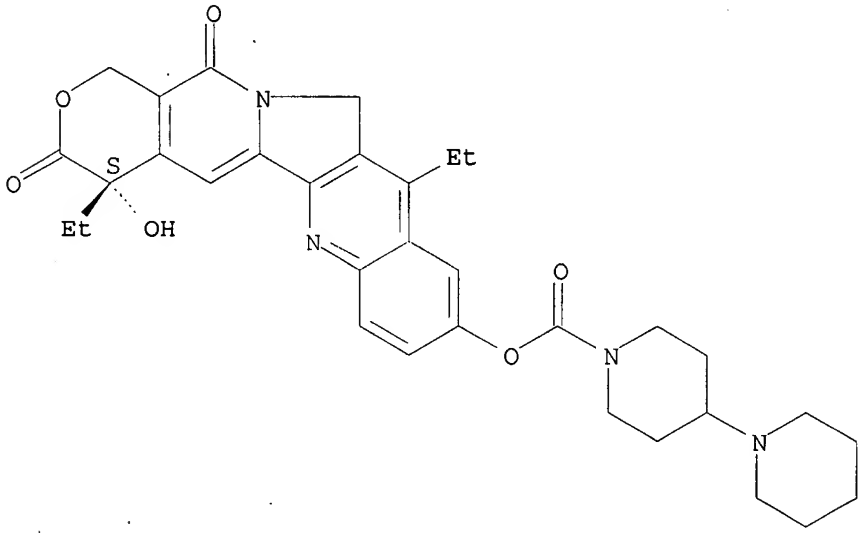
IT 97682-44-5P, Irinotecan

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of irinotecan)

RN 97682-44-5 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

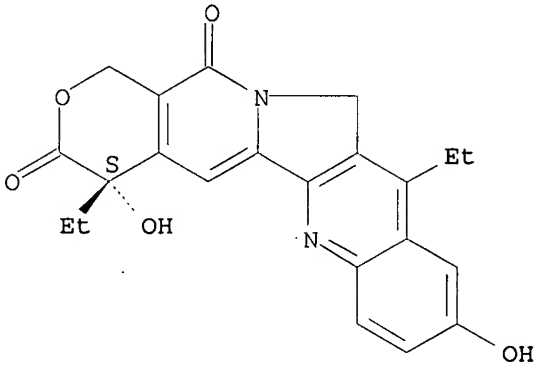


IT 86639-52-3, 7-Ethyl-10-hydroxycamptothecin
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of irinotecan)

RN 86639-52-3 CAPLUS

CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4,11-diethyl-4,9-dihydroxy-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:182668 CAPLUS

DOCUMENT NUMBER: 142:280341

TITLE: Method of manufacturing of 7-ethyl-10-[4-(1-piperidino)-1-piperidino]carbonyloxycamptothecin (irinotecan base) by the esterification of 7-ethyl-10-hydroxycamptothecin with

1-chlorocarbonyl-4-piperidinopiperidine hydrochloride in the presence of 4-dimethylaminopyridine

INVENTOR(S): Dobrovolny, Petr
PATENT ASSIGNEE(S): Pliva-Lachema A. S., Czech Rep.
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019223	A1	20050303	WO 2004-CZ50	20040824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004266752	A1	20050303	AU 2004-266752	20040824
EP 1664054	A1	20060607	EP 2004-762302	20040824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2006199961	A1	20060907	US 2006-567472	20060207
PRIORITY APPLN. INFO.:			CZ 2003-2305 A	20030826
			WO 2004-CZ50 W	20040824

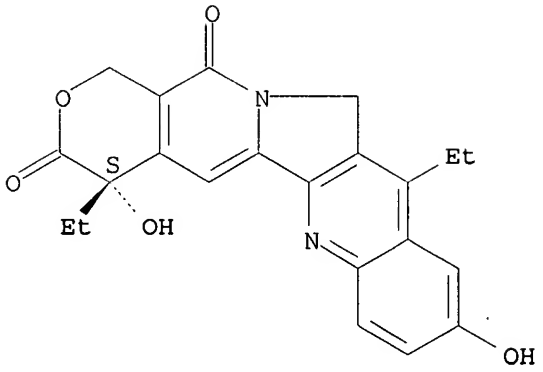
OTHER SOURCE(S): CASREACT 142:280341

AB 7-Ethyl-10-[4-(1-piperidino)-1-piperidino]carbonyloxycamptothecin (i.e., irinotecan base) is prepared in high yield and selectivity by the esterification of 7-ethyl-10-hydroxycamptothecin with 1-chlorocarbonyl-4-piperidinopiperidine hydrochloride in a polar aprotic solvent in the presence of 4-dimethylaminopyridine.

IT 86639-52-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(method of manufacturing of 7-ethyl-10-[4-(1-piperidino)-1-piperidino]carbonyloxycamptothecin (irinotecan base) by the esterification of 7-ethyl-10-hydroxycamptothecin with 1-chlorocarbonyl-4-piperidinopiperidine hydrochloride)

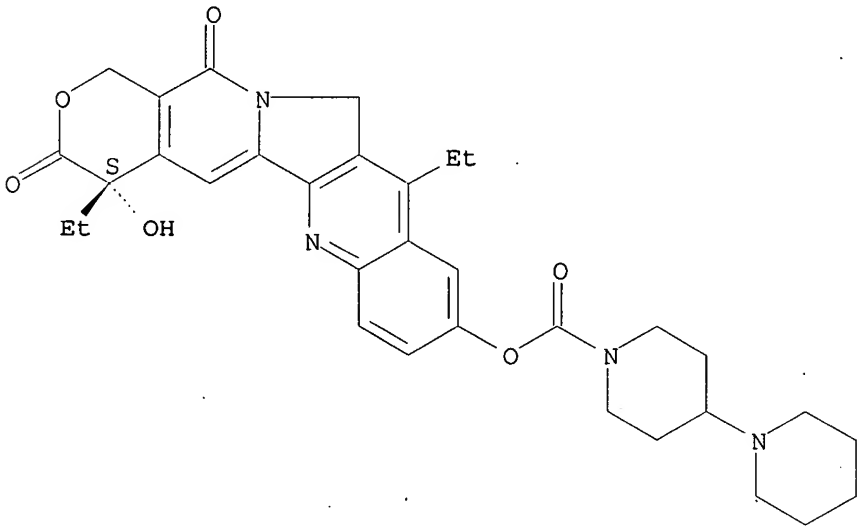
RN 86639-52-3 CAPLUS
CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4,11-diethyl-4,9-dihydroxy-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 97682-44-5P, Irinotecan
RL: SPN (Synthetic preparation); PREP (Preparation)
(method of manufacturing of 7-ethyl-10-[4-(1-piperidino)-1-piperidino]carbonyloxycamptothecin (irinotecan base) by the esterification of 7-ethyl-10-hydroxycamptothecin with 1-chlorocarbonyl-4-piperidinopiperidine hydrochloride)
RN 97682-44-5 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:713182 CAPLUS
DOCUMENT NUMBER: 135:262261
TITLE: Preparation and antitumor activity of polyglutamic acid-camptothecin conjugates
INVENTOR(S): Bhatt, Rama; De Vries, Peter; Klein, J. Peter; Lewis, Robert A.; Singer, Jack W.; Tulinsky, John
PATENT ASSIGNEE(S): Cell Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070275	A2	20010927	WO 2001-US8553	20010319
WO 2001070275	A3	20020103		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2402643	A1	20010927	CA 2001-2402643	20010319
AU 200147513	A	20011003	AU 2001-47513	20010319
EP 1267939	A2	20030102	EP 2001-920466	20010319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200204562	A2	20030428	HU 2002-4562	20010319
JP 2003527443	T	20030916	JP 2001-568471	20010319
SI 21172	A	20031031	SI 2001-20021	20010319
BR 2001009272	A	20040629	BR 2001-9272	20010319
IN 2002KN01144	A	20050311	IN 2002-KN1144	20020910
NO 2002004421	A	20021115	NO 2002-4421	20020916
ZA 2002007423	A	20031217	ZA 2002-7423	20020916
MX 2002PA09082	A	20031211	MX 2002-PA9082	20020917
PRIORITY APPLN. INFO.:			US 2000-190429P P	20000317
			WO 2001-US8553 W	20010319

OTHER SOURCE(S): MARPAT 135:262261

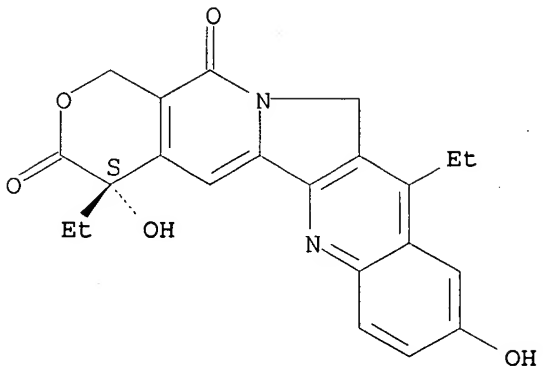
AB Methods for the preparation of polyglutamic acid-therapeutic agent conjugates are disclosed. The compds. show antitumor activity. Thus, 20(S)-camptothecin was allowed to react with N-(tert-butoxycarbonyl)glycine in DMF solution in the presence of 4-dimethylaminopyridine followed by the addition of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide. The product, 20-O-(N-(tert-butoxycarbonyl)glycyl)camptothecin, was deprotected with CF3CO2H to give 20-O-(glycyl)camptothecintrifluoroacetic acid salt which was then treated with poly-(L-glutamic acid). The conjugate, polyglutamate-glycine-camptothecin showed high antitumor activity.

IT 86639-52-3, SN 38
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antitumor activity of polyglutamic acid-camptothecin conjugates)

RN 86639-52-3 CAPLUS

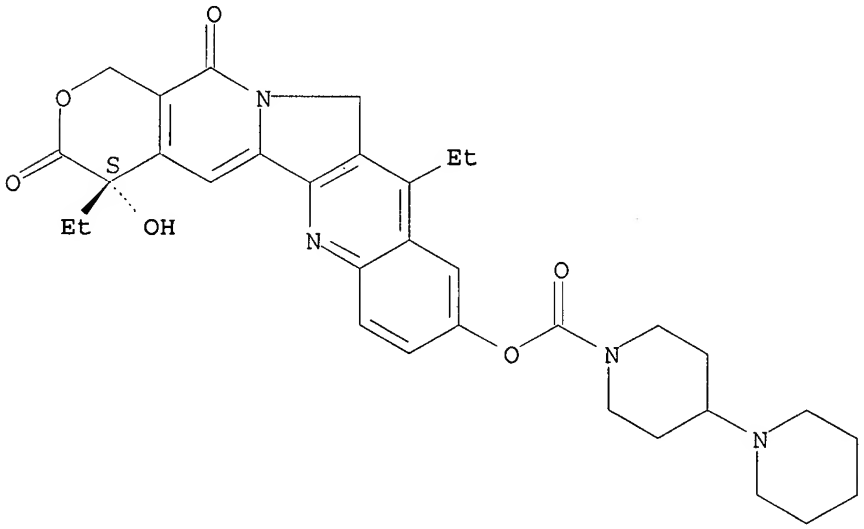
CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4,11-diethyl-4,9-dihydroxy-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 97682-44-5DP, Irinotecan, polyglutamic acid conjugates
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of polyglutamic acid-camptothecin conjugates).
RN 97682-44-5 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



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L4 43 S L2 FULL

FILE 'CAPLUS' ENTERED AT 16:33:01 ON 02 OCT 2007
L5 36 S L1/PREP

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L7            15 S L5 AND L6
L8          2619 S 4-DIMETHYLAMINOPYRIDINE
L9             3 S L7 AND L8

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YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y


L1   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   97682-44-5  REGISTRY
ED   Entered STN:  18 Aug 1985
CN   [1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-
     tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-
     b]quinolin-9-yl ester  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline, [1,4'-bipiperidine]-1'-
     carboxylic acid deriv.
CN   [1,4'-Bipiperidine]-1'-carboxylic acid, 4,11-diethyl-3,4,12,14-tetrahydro-
     4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl
     ester, (S)-
OTHER NAMES:
CN   (+)-Irinotecan
CN   Irinotecan
CN   Irinotecan lactone
FS   STEREOSEARCH
MF   C33 H38 N4 O6
CI   COM
SR   CA
LC   STN Files:   ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS,
       CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU,
       IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PROMT,
       PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
         (*File contains numerically searchable property data)

Absolute stereochemistry.  Rotation (+).
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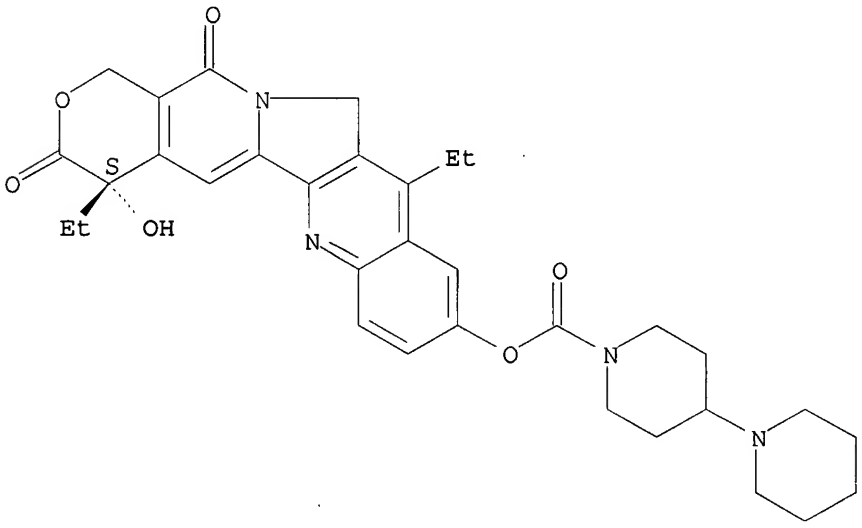

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2307 REFERENCES IN FILE CA (1907 TO DATE)
52 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
2321 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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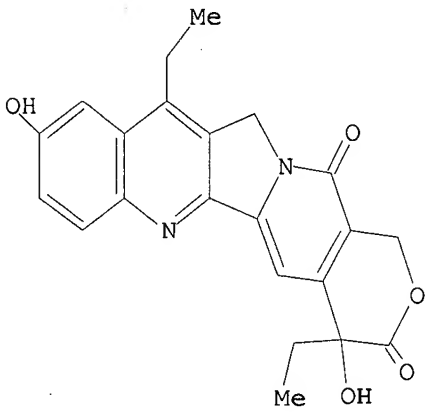

Structure attributes must be viewed using STN Express query preparation.

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